

Text search of claim 1 in other  
databases

MAIER '09/807,402

=> file medline

FILE 'MEDLINE' ENTERED AT 11:22:39 ON 27 MAY 2003

FILE LAST UPDATED: 24 MAY 2003 (20030524/UP). FILE COVERS 1958 TO DATE.

On April 13, 2003, MEDLINE was reloaded. See HELP RLOAD for details.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2003 vocabulary. See <http://www.nlm.nih.gov/mesh/changes2003.html> for a description on changes.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 133

L28 1422 SEA FILE=MEDLINE ABB=ON PLU=ON GESTAGEN?  
L33 0 SEA FILE=MEDLINE ABB=ON PLU=ON L28 AND ?CYCLODEXTRIN

=> file embase

FILE 'EMBASE' ENTERED AT 11:22:41 ON 27 MAY 2003  
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FILE COVERS 1974 TO 22 May 2003 (20030522/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 137

L35 4 SEA FILE=EMBASE ABB=ON PLU=ON GESTAGEN AND ?CYCLODEXTRIN  
L37 1 SEA FILE=EMBASE ABB=ON PLU=ON L35(L)PR/CT

↑

pharmaceuticals

=> file wpix

FILE 'WPIX' ENTERED AT 11:22:42 ON 27 MAY 2003  
COPYRIGHT (C) 2003 THOMSON DERWENT

FILE LAST UPDATED: 26 MAY 2003 <20030526/UP>  
MOST RECENT DERWENT UPDATE: 200333 <200333/DW>  
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> NEW WEEKLY SDI FREQUENCY AVAILABLE --> see NEWS <<<

>>> SLART (Simultaneous Left and Right Truncation) is now available in the /ABEX field. An additional search field /BIX is also provided which comprises both /BI and /ABEX <<<

>>> PATENT IMAGES AVAILABLE FOR PRINT AND DISPLAY <<<

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE <http://www.derwent.com/dwpi/updates/dwpicov/index.html> <<<

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

[http://www.stn-international.de/training\\_center/patents/stn\\_guide.pdf](http://www.stn-international.de/training_center/patents/stn_guide.pdf) <<<

>>> FOR INFORMATION ON ALL DERWENT WORLD PATENTS INDEX USER  
GUIDES, PLEASE VISIT:

[http://www.derwent.com/userguides/dwpi\\_guide.html](http://www.derwent.com/userguides/dwpi_guide.html) <<<

=> d que 145

L43 491 SEA FILE=WPIX ABB=ON PLU=ON GESTAGEN?  
L44 4985 SEA FILE=WPIX ABB=ON PLU=ON ?CYCLODEXTRIN?  
L45 3 SEA FILE=WPIX ABB=ON PLU=ON L43 AND L44

=> file biosis

FILE 'BIOSIS' ENTERED AT 11:22:45 ON 27 MAY 2003  
COPYRIGHT (C) 2003 BIOLOGICAL ABSTRACTS INC.(R)

FILE COVERS 1969 TO DATE.  
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNS) PRESENT  
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 21 May 2003 (20030521/ED)

=> d que 142

L40 7625 SEA FILE=BIOSIS ABB=ON PLU=ON ?CYCLODEXTRIN?  
L41 880 SEA FILE=BIOSIS ABB=ON PLU=ON GESTAGEN?  
L42 0 SEA FILE=BIOSIS ABB=ON PLU=ON L40 AND L41

=> file caba

FILE 'CABA' ENTERED AT 11:22:47 ON 27 MAY 2003  
COPYRIGHT (C) 2003 CAB INTERNATIONAL (CABI)

FILE COVERS 1973 TO 2 May 2003 (20030502/ED)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> d que 151

L49 784 SEA FILE=CABA ABB=ON PLU=ON CYCLODEXTRIN?  
L50 172 SEA FILE=CABA ABB=ON PLU=ON GESTAGEN?  
L51 0 SEA FILE=CABA ABB=ON PLU=ON L49 AND L50

=> file scisearch

FILE 'SCISEARCH' ENTERED AT 11:22:48 ON 27 MAY 2003  
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FILE COVERS 1974 TO 23 May 2003 (20030523/ED)

=> d que 148

L46 14470 SEA FILE=SCISEARCH ABB=ON PLU=ON ?CYCLODEXTRIN?  
L47 492 SEA FILE=SCISEARCH ABB=ON PLU=ON GESTAGEN?

L48 0 SEA FILE=SCISEARCH ABB=ON PLU=ON L46 AND L47

=> file jic

FILE 'JICST-EPLUS' ENTERED AT 11:22:50 ON 27 MAY 2003  
COPYRIGHT (C) 2003 Japan Science and Technology Corporation (JST)

FILE COVERS 1985 TO 26 MAY 2003 (20030526/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED  
TERM (/CT) THESAURUS RELOAD.

=> d que 154

L52 39 SEA FILE=JICST-EPLUS ABB=ON PLU=ON GESTAGEN?  
L53 3542 SEA FILE=JICST-EPLUS ABB=ON PLU=ON CYCLODEXTRIN?  
L54 0 SEA FILE=JICST-EPLUS ABB=ON PLU=ON L52 AND L53

=> dup rem 137 145) *removing duplicates*  
FILE 'EMBASE' ENTERED AT 11:23:07 ON 27 MAY 2003  
COPYRIGHT (C) 2003 Elsevier Science B.V. All rights reserved.

FILE 'WPIX' ENTERED AT 11:23:07 ON 27 MAY 2003  
COPYRIGHT (C) 2003 THOMSON DERWENT  
PROCESSING COMPLETED FOR L37  
PROCESSING COMPLETED FOR L45

L66 4 DUP REM L37 L45 (0 DUPLICATES REMOVED) *4 cites*  
ANSWER '1' FROM FILE EMBASE  
ANSWERS '2-4' FROM FILE WPIX

=> d ibib abs ind 1

L66 ANSWER 1 OF 4 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.  
ACCESSION NUMBER: 2002068076 EMBASE  
TITLE: The use of chemically modified cyclodextrins in the  
development of formulations for chemical delivery systems.  
AUTHOR: Brewster M.E.; Loftsson T.  
CORPORATE SOURCE: Dr. M.E. Brewster, Department of Drug Delivery Research,  
Janssen Research Foundation, Turnhoutseweg 30, 2340 Beerse,  
Belgium. mbrewste@janbe.jnj.com  
SOURCE: Pharmazie, (2002) 57/2 (94-101).  
Refs: 63  
ISSN: 0031-7144 CODEN: PHARAT  
COUNTRY: Germany  
DOCUMENT TYPE: Journal; General Review  
FILE SEGMENT: 037 Drug Literature Index  
039 Pharmacy  
LANGUAGE: English  
SUMMARY LANGUAGE: English  
AB Retrometabolic drug design provides a highly useful and directed approach

for identifying new drug candidates with improved therapeutic indices based on predictable/controlled metabolism and/or site-targeted delivery. In the process, formulation becomes an important and integral concern especially for brain-targeting chemical delivery systems (CDS) based on the need for appropriate dosage form stability, solubility and dissolution characteristics. Adjuncts that have been useful in this regard are chemically modified, water soluble **cyclodextrin** derivatives such as 2-hydroxypropyl-.beta.-**cyclodextrin** (HP.beta.CD). These starch-derived excipients can interact with drugs via dynamic complex formation resulting in a number of beneficial pharmaceutical effects including increased apparent water solubility and stability as well as improved aesthetic and excipient compatibility properties. This **cyclodextrin** is approved in a number of product in the US and world-wide. HP.beta.CD has contributed to the development and preclinical/clinical testing of a number of CDS including E2 (estradiol)-CDS, AZT (zidovudine)-CDS, DEX (dexamethasone)-CDS and a neuropeptide CDS based on an enkephalin derivative. In these contexts, HP.beta.CD provided for stable and water-soluble dosage forms intended for parenteral administration.

CT

Medical Descriptors:

- \*chemical modification
- drug delivery system
- drug formulation
- drug design
- drug metabolism
- drug dosage form
- drug stability
- drug solubility
- parenteral drug administration
- review

Drug Descriptors:

- \***cyclodextrin**: PR, pharmaceuticals
- \*starch
  - \*2 hydroxypropyl beta cyclodextrin: CB, drug combination
  - \*2 hydroxypropyl beta cyclodextrin: PR, pharmaceuticals
- \*estradiol: CB, drug combination
- \*zidovudine: CB, drug combination
- \*dexamethasone: CB, drug combination
- neuropeptide: CB, drug combination
- enkephalin: CB, drug combination
- dopamine: CB, drug combination
- 4 aminobutyric acid: CB, drug combination
- tryptamine: CB, drug combination
- tryptophan: CB, drug combination
- adenosine: CB, drug combination
- desipramine: CB, drug combination
- tranylcypromine: CB, drug combination
- steroid: CB, drug combination
- testosterone: CB, drug combination
- progesterone: CB, drug combination
- gestagen**: CB, drug combination
- estrogen: CB, drug combination
- trifluridine: CB, drug combination
- ganciclovir: CB, drug combination
- ribavirin: CB, drug combination
- lomustine: CB, drug combination
- chlorambucil: CB, drug combination
- phenytoin: CB, drug combination
- valproic acid: CB, drug combination
- penicillin G: CB, drug combination

naproxen: CB, drug combination  
unindexed drug

RN (cycl dextrin) 12619-70-4; (starch) 9005-25-8, 9005-84-9; (2 hydroxypropyl beta cyclodextrin) 94035-02-6; (estradiol) 50-28-2; (zidovudine) 30516-87-1; (dexamethasone) 50-02-2; (dopamine) 51-61-6, 62-31-7; (4 aminobutyric acid) 28805-76-7, 56-12-2; (tryptamine) 343-94-2, 61-54-1; (tryptophan) 6912-86-3, 73-22-3; (adenosine) 58-61-7; (desipramine) 50-47-5, 58-28-6; (tranylcypromine) 13492-01-8, 155-09-9, 54-97-7; (testosterone) 58-22-0; (progesterone) 57-83-0; (trifluridine) 70-00-8; (ganciclovir) 82410-32-0; (ribavirin) 36791-04-5; (lomustine) 13010-47-4; (chlorambucil) 305-03-3; (phenytoin) 57-41-0, 630-93-3; (valproic acid) 1069-66-5, 99-66-1; (penicillin G) 1406-05-9, 61-33-6; (naproxen) 22204-53-1, 26159-34-2

=> d ibib abs 2-4

L66 ANSWER 2 OF 4 WPIX (C) 2003 THOMSON DERWENT

ACCESSION NUMBER: 2000-647287 [62] WPIX

DOC. NO. CPI: C2000-195822

TITLE: Treating undesirable uterine bleeding, e.g. as side-effect of hormone therapy, by intranasal administration of estradiol.

DERWENT CLASS: A96 B01

INVENTOR(S): TSOUDELOS, Y

PATENT ASSIGNEE(S): (ADIR) ADIR & CIE; (SEVI-N) SEVIL LAB; (SERV-N) LES LAB  
SERVIER; (MERC-N) MERCER

COUNTRY COUNT: 33

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000059447	A2	20001012	(200062)*	FR	6
RW: AT BE CH CY DE DK EA ES FI FR GB GR IE IT LU MC NL PT SE					
W: AU BR CA CN HU JP KR MX NO NZ PL US ZA					
FR 2791572	A1	20001006	(200062)		
AU 2000036623	A	20001023	(200107)		
EP 1143978	A2	20011017	(200169)	FR	
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					
NO 2001004022	A	20010817	(200169)		
KR 2001102464	A	20011115	(200231)		
CN 1346273	A	20020424	(200251)		
HU 2002000244	A2	20020729	(200258)		
BR 2000008577	A	20021001	(200268)		
JP 2002541076	W	20021203	(200309)		12
ZA 2001006689	A	20030129	(200314)		21

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000059447	A2	WO 2000-FR790	20000330
FR 2791572	A1	FR 1999-4022	19990331
AU 2000036623	A	AU 2000-36623	20000330
EP 1143978	A2	EP 2000-915247	20000330
		WO 2000-FR790	20000330
NO 2001004022	A	WO 2000-FR790	20000330
		NO 2001-4022	20010817
KR 2001102464	A	KR 2001-711124	20010831
CN 1346273	A	CN 2000-804471	20000330

MAIER \* 09/807,402

HU 2002000244 A2	WO 2000-FR790	20000330
	HU 2002-244	20000330
BR 2000008577 A	BR 2000-8577	20000330
	WO 2000-FR790	20000330
JP 2002541076 W	JP 2000-609012	20000330
	WO 2000-FR790	20000330
ZA 2001006689 A	ZA 2001-6689	20010814

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2000036623	A Based on	WO 200059447
EP 1143978	A2 Based on	WO 200059447
HU 2002000244	A2 Based on	WO 200059447
BR 2000008577	A Based on	WO 200059447
JP 2002541076	W Based on	WO 200059447

PRIORITY APPLN. INFO: FR 1999-4022 19990331

AN 2000-647287 [62] WPIX

AB WO 200059447 A UPAB: 20001130

NOVELTY - The use of estradiol (I) is claimed for the preparation of an intranasally administered pharmaceutical composition for treating undesirable uterine bleeding.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a composition for use as above, in the form of an aqueous solution containing (I) (optionally as a mixture with a progestogen) and a partially and randomly methylated **cyclodextrin**.

ACTIVITY - Gynecological; hemostatic.

MECHANISM OF ACTION - None given.

USE - For treating bleeding outside the normal menstrual period, e.g. as a side-effect of other types of therapy using sex hormones, especially during the menopause.

ADVANTAGE - The treatment is effective for long periods (possibly over several years). The effect is not observed using other routes of administration of (I). In tests in post-menopausal patients receiving progestogen treatment, patients treated with (I) (300 micro g per day) as a nasal spray showed ca. 30 % less frequent uterine bleeding than patients treated orally with (I) (2 mg per day).

Dwg.0/0

L66 ANSWER 3 OF 4 WPIX (C) 2003 THOMSON DERWENT

ACCESSION NUMBER: 2000-304732 [27] WPIX

DOC. NO. CPI: C2000-092699

TITLE: Stable combination of 14,17-over-bridged steroid **gestagen** and **cyclodextrin** compound, useful for treating climacteric disorders or for contraception.

DERWENT CLASS: B01 B04

INVENTOR(S): BACKENSFELD, T; HOEFERT, P

PATENT ASSIGNEE(S): (SCHD) SCHERING AG

COUNTRY COUNT: 85

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
DE 19848303	A1	20000420	(200027)*		11
WO 2000021570	A1	20000420	(200027)	GE	
RW: AT BE CH CY DE DK EA ES FI FR GB GR IE IT LU MC NL PT SE					
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DK EE ES FI GB GD					

GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV  
 MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT  
 UA UG US UZ VN YU ZA ZW  
 AU 9963389 A 20000501 (200036)  
 EP 1121152 A1 20010808 (200146) GE  
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT  
 RO SE SI

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 19848303	A1	DE 1998-19848303	19981014
WO 2000021570	A1	WO 1999-EP7711	19991013
AU 9963389	A	AU 1999-63389	19991013
EP 1121152	A1	EP 1999-950719	19991013
		WO 1999-EP7711	19991013

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 9963389	A Based on	WO 200021570
EP 1121152	A1 Based on	WO 200021570

PRIORITY APPLN. INFO: DE 1998-19848303 19981014

AN 2000-304732 [27] WPIX

AB DE 19848303 A UPAB: 20000606

NOVELTY - Novel combinations comprise (A) at least one **gestagen** selected from 14,17-over-bridged steroids and (B) at least **cyclodextrin** (CD) compound selected from beta -CD, gamma -CD and their derivatives in which free alcohol functions are etherified or esterified.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for (i) a method for stabilizing (A) using (B), (ii) a method for complexing (A) with beta -CD or approx. -CD, by trituration as a dry blend or by precipitation reaction, preferably co-precipitation and (iii) a method for the direct tableting of a complex of (A) with beta -CD or gamma -CD, with addition of pharmaceutical auxiliaries.

ACTIVITY - Gynecological; analgesic; antidepressant; contraceptive..

MECHANISM OF ACTION - **Gestagen** receptor ligand.

USE - (A) are potent, orally effective **gestagens**; see WO96020209. Use of the combinations of (A) and (N) is claimed for treating climacteric disorders, specifically premenstrual symptoms such as headache, depressive moods, water retention and mastodynia; and for fertility control.

ADVANTAGE - Addition of (B) protects (A) against decomposition by acyloin rearrangement or oxidation (whereas conventional excipients such as lactose or maize starch accelerate such decomposition), without adversely affecting the tolerance or pharmaceutical processability. A complex of (A) and (B) may be formed. In particular the combination provides a stable oral formulation and allows direct tableting (all claimed).

Dwg.0/0

L66 ANSWER 4 OF 4 WPIX (C) 2003 THOMSON DERWENT

ACCESSION NUMBER: 1996-078144 [09] WPIX

DOC. NO. CPI: C1996-025903

TITLE: Stable solid formulation of sexual steroid - contg. drug,  
 pref. oestrogen, as powdered clathrate with

DERWENT CLASS: **cycl dextrin, pref. beta-cyclodextrin.**  
 INVENTOR(S): B01 B04 B07  
 PATENT ASSIGNEE(S): BACKENSFELD, T; TACK, J  
 COUNTRY COUNT: (SCHD) SCHERING AG  
 PATENT INFORMATION: 20

PATENT NO	KIND	DATE	WEEK	LA	PG
DE 4426709	A1	19960125	(199609)*		4
WO 9602277	A1	19960201	(199611)	GE	22
RW: AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE					
W: CA JP US					
EP 771217	A1	19970507	(199723)	GE	
R: AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE					
JP 10502912	W	19980317	(199821)		15
US 5798338	A	19980825	(199841)		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 4426709	A1	DE 1994-4426709	19940720
WO 9602277	A1	WO 1995-EP2656	19950710
EP 771217	A1	EP 1995-943492	19950710
		WO 1995-EP2656	19950710
JP 10502912	W	WO 1995-EP2656	19950710
		JP 1996-504658	19950710
US 5798338	A	WO 1995-EP2656	19950710
		US 1997-765823	19970402

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 771217	A1 Based on	WO 9602277
JP 10502912	W Based on	WO 9602277
US 5798338	A Based on	WO 9602277

PRIORITY APPLN. INFO: DE 1994-4426709 19940720

AN 1996-078144 [09] WPIX

AB DE 4426709 A UPAB: 19960305

A solid medicament formulation contains a sexual steroid (I) in the form of a powdered **cyclodextrin** (CD) clathrate.

USE - The formulations can be used to provide a controlled dose of a sexual steroid. (I) is pref. an oestrogen (claimed), but may also be a **gestagen**, androgen-anabolic agent, antioestrogen, antigestagen or antiandrogen, including mixts.

ADVANTAGE - Inclusion of (I) in CD reduces or eliminates loss of (I) on storage (due to oxidative degradation) and problems of variable (I) contents in unit dose forms, even at low doses.

Dwg.0/0

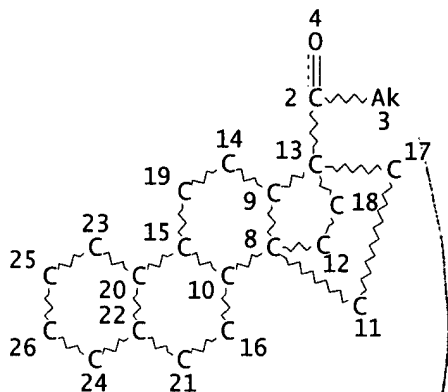
=&gt; file home

FILE 'HOME' ENTERED AT 11:23:38 ON 27 MAY 2003



this is a search of the remaining epds (that did not fit claim 2) and contraceptive etc  
MAIER 09/807,402

=> d que 127  
L6 STR



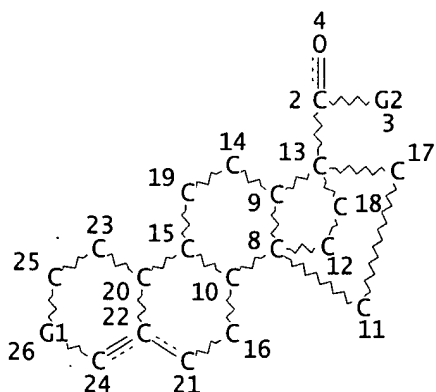
same STR  
search

NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L8 107 SEA FILE=REGISTRY SSS FUL L6 ← 107 epds from parent search  
L9 STR



C≡O  
@27 28

C≡N  
@29 30

Ak~OH  
@33 34

Ak @31

VAR G1=CH2/27/29

VAR G2=31/33

NODE ATTRIBUTES:  
CONNECT IS E1 RC AT 31  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L10 56 SEA FILE=REGISTRY SUB=L8 SSS FUL L9  
 L11 51 SEA FILE=REGISTRY ABB=ON PLU=ON L10 NOT "METHYL ESTER"  
 L13 50 SEA FILE=REGISTRY ABB=ON PLU=ON L11 NOT "19-HYDROXY"  
 L15 49 SEA FILE=REGISTRY ABB=ON PLU=ON L13 NOT "19-EPOXY-3-OXO-" ← *claim 2 cpd*  
 L18 127100 SEA FILE=HCAPLUS ABB=ON PLU=ON DRUG DELIVERY SYSTEMS+PFT/CT  
 L19 11601 SEA FILE=HCAPLUS ABB=ON PLU=ON CONTRACEPTIVES+PFT/CT  
 L20 28383 SEA FILE=HCAPLUS ABB=ON PLU=ON OVARIAN CYCLE+PFT,NT/CT  
 L24 58 SEA FILE=REGISTRY ABB=ON PLU=ON L8 NOT L15 ← *167 cpds from parent search*  
 L25 16 SEA FILE=HCAPLUS ABB=ON PLU=ON L24  
 L27 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L25 AND (L18 OR L19 OR L20) less the L15 cpd

=> d ibib abs hitstr 127

L27 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:486115 HCAPLUS

DOCUMENT NUMBER: 137:52382

TITLE: Transdermal system comprising the highly potent gestagen hydroxytriendione

INVENTOR(S): Lipp, Ralph; Guenther, Clemens

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1216699	A1	20020626	EP 2000-250449	20001221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2002049622	A2	20020627	WO 2001-EP14538	20011211
WO 2002049622	A3	20030109		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002035764	A5	20020701	AU 2002-35764	20011211
US 2003003139	A1	20030102	US 2001-22834	20011220
PRIORITY APPLN. INFO.: EP 2000-250449 A 20001221				
WO 2001-EP14538 W 20011211				

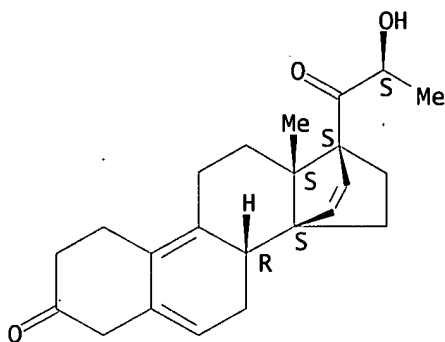
AB The invention concerns a transdermal drug delivery system that contains hydroxytriendione in a polyacrylate adhesive matrix. The matrix further contains crystn. inhibitors and permeation enhancers; addnl. estrogens can be included. Thus a in 42 g Gelva 7881 adhesive soln. 0.5 g hydroxytriendione and 7.5 g Kollidon VA64 were mixed in; the crystal-free mixt. was applied onto fluoropolymer-coated polyester foil (Scotchpak 1022 Release Liner); after drying the coated foil was laminated with PVC-PVDC foil (Saran-Hytel Backing).

IT 438527-10-7

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (transdermal system comprising highly potent gestagen

hydroxytriendione)  
RN 438527-10-7 HCAPLUS  
CN 14,21-Cyclo-19-norpregna-5,9,15-trien-3-one, 17-[(2S)-2-hydroxy-1-oxopropyl]-, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ind 127

L27 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS  
IC ICM A61K009-70  
ICS A61K031-575  
CC 63-6 (Pharmaceuticals)  
ST transdermal drug delivery system gestagen hydroxytriendione  
IT Crystallization  
(inhibitors; transdermal system comprising highly potent gestagen hydroxytriendione)  
IT Adhesives  
Foils  
Permeation enhancers  
(transdermal system comprising highly potent gestagen hydroxytriendione)  
IT Acrylic polymers, biological studies  
Estrogens  
Hydrocarbon oils  
Lecithins  
Progestogens  
Terpenes, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(transdermal system comprising highly potent gestagen hydroxytriendione)  
IT Drug delivery systems  
(transdermal; transdermal system comprising highly potent gestagen hydroxytriendione)  
IT 25086-89-9, Kollidon VA 64 156014-81-2, Scotchpak 1022  
438527-10-7 438589-47-0, Gelva 7881  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(transdermal system comprising highly potent gestagen hydroxytriendione)  
IT 50-27-1, Estriol 50-28-2, Estradiol, biological studies 57-10-3D, Palmitic acid, monoglycerides 57-11-4, Stearic acid, biological studies

57-11-4D, Stearic acid, monoglycerides 57-13-6, Urea, biological studies  
 57-55-6, 1,2-Propanediol, biological studies 57-63-6, Ethinylestradiol  
 64-17-5, Ethanol, biological studies 64-19-7D, Acetic acid,  
 monoglycerides 100-51-6, Benzylalcohol, biological studies 111-90-0,  
 Diethylene glycolmonoethyl ether 112-53-8, Laurylalcohol 112-80-1,  
 Oleic acid, biological studies 113-38-2, Estradiol-3,17.beta.-  
 dipropionate 142-62-1D, Capronic acid, monoglycerides 143-07-7D,  
 Lauric acid, monoglycerides 544-63-8D, Myristic acid, monoglycerides  
 5306-85-4, Dimethyliso-sorbide 6938-94-9, Diisopropyladipate  
 7491-02-3, Diisopropylsebacate 9003-39-8, Vinylpyrrolidone homopolymer  
 25189-83-7 26587-22-4 27234-90-8, 2-Ethylhexylacrylate-N-vinyl-2-  
 pyrrolidone copolymer 36089-45-9, 2-Ethylhexylacrylate-  
 Hydroxyethylacrylate copolymer 36653-82-4, Cetylalcohol  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (transdermal system comprising highly potent gestagen  
 hydroxytriendione)

*Really basic text  
search*

=> d que 165  
L65

1 SEA FILE=HCAPLUS ABB=ON PLU=ON ?CYCLODEXTRIN? AND GESTAGEN?

=> d ibib abs

L65 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:260073 HCAPLUS

DOCUMENT NUMBER: 132:298833

TITLE: Combination of **gestagens** and sugars

INVENTOR(S): Hoefert, Peter; Backensfeld, Thomas

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021570	A1	20000420	WO 1999-EP7711	19991013
W:		AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
RW:		AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE		
DE 19848303	A1	20000420	DE 1998-19848303	19981014
AU 9963389	A1	20000501	AU 1999-63389	19991013
EP 1121152	A1	20010808	EP 1999-950719	19991013
R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO		

PRIORITY APPLN. INFO.:

DE 1998-19848303 A 19981014

WO 1999-EP7711 W 19991013

OTHER SOURCE(S): MARPAT 132:298833

AB A combination of .gtoreq.1 **gestagen** and a .beta.- or .gamma.- **cyclodextrin** or ether or ester thereof, wherein the **gestagen** is a 14,17-ethano-bridged steroid, is used as an oral medicament in the treatment of premenstrual and climacteric complaints and fertility control. The **gestagen** is preferably (21S)-21-hydroxy-21-methyl-14,17-ethano-19-norpregna-4,9,15-triene-3,20-dione. The **cyclodextrin** stabilizes the **gestagen** by inhibiting the acyloin rearrangement in the side chain and inhibiting oxidative degrdn. during storage. The **cyclodextrin-gestagen** complex is rapidly dissocd. in the intestine and the **gestagen** is resorbed.

REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

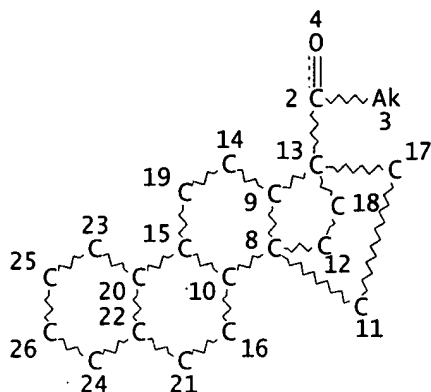
*applicant - notice  
spelling of names*

MAIER · 09/807,402

L-6

STR

parent str



DEFAULT ECLEVEL IS LIMITED

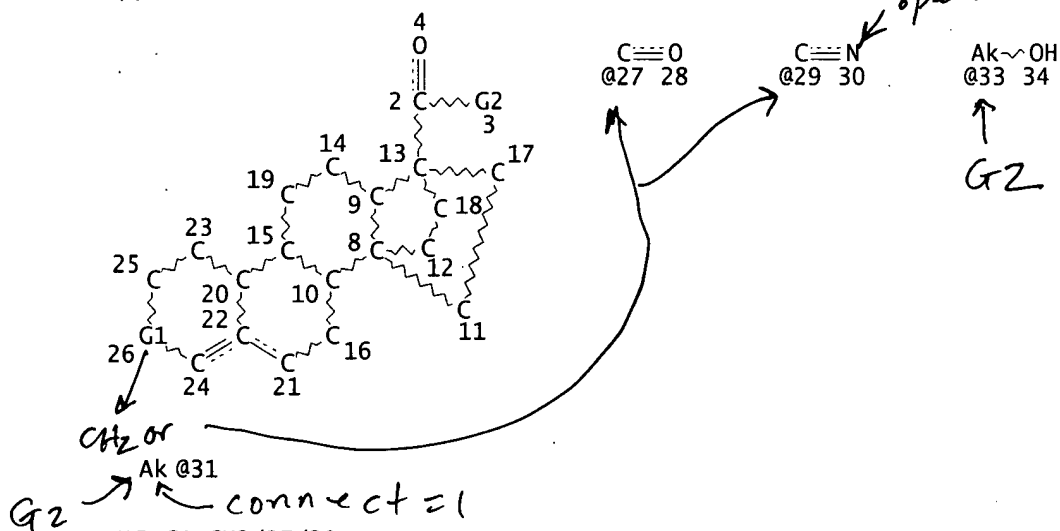
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L8 107 SEA FILE=REGISTRY SSS FUL L6

L9

STR.

ISTRY SSS FUL L6 107 cpds  
subset STR



DEFAULT ECLEVEL IS LIMITED

NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

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L11	51 SEA FILE=REGISTRY ABB=ON PLU=ON	L10 NOT "METHYL ESTER"	
L13	50 SEA FILE=REGISTRY ABB=ON PLU=ON	L11 NOT "19-HYDROXY"	
L15	<del>49</del> SEA FILE=REGISTRY ABB=ON PLU=ON	L13 NOT "19-EPOXY-3-OXO"	} L21-23 only 1 cite has to do with menstru- ation etc - it's applicant
L17	<del>10</del> SEA FILE=HCAPLUS ABB=ON PLU=ON	L15 10 cites	
L18	127100 SEA FILE=HCAPLUS ABB=ON PLU=ON	DRUG DELIVERY SYSTEMS+PFT/CT	} all 10 cites displayed
L19	11601 SEA FILE=HCAPLUS ABB=ON PLU=ON	CONTRACEPTIVES+PFT/CT	
L20	28383 SEA FILE=HCAPLUS ABB=ON PLU=ON	OVARIAN CYCLE+PFT,NT/CT	
L21	1 SEA FILE=HCAPLUS ABB=ON PLU=ON	L17 AND (L18 OR L19 OR L20)	
L22	1 SEA FILE=HCAPLUS ABB=ON PLU=ON	L17 AND PREMEN?/OBI	
L23	1 SEA FILE=HCAPLUS ABB=ON PLU=ON	(L21 OR L22)	
L60	10 SEA FILE=HCAPLUS ABB=ON PLU=ON	L17 OR L23	

=&gt; d ibib abs hitstr 1

L60 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:375619 HCAPLUS

DOCUMENT NUMBER: 135:127150

TITLE: Crystallization of the Stable Polymorph of  
Hydroxytriendione: Seeding Process and Effects of  
Purity

AUTHOR(S): Beckmann, Wolfgang; Otto, Wolfgang; Budde, Uwe

CORPORATE SOURCE: Chemical Engineering Department, Schering AG, Berlin,  
GermanySOURCE: Organic Process Research & Development (2001), 5(4),  
387-392

CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The drug substance hydroxytriendione has been found to exist in three modifications, which are equal in their pharmaceutical profile and are equally stable. Thus, Form II, the polymorph thermodynamically stable at room temp., was chosen as the solid-state form for the active pharmaceutical ingredient. Spontaneous nucleation will lead to either of the two other forms. Thus, a seeding process was developed to ensure the reproducible crystn. of the desired Form II. The solvent used for crystn. was chosen according to the preparative HPLC method used to prep. the crude material, and the soly. was modified by using an appropriate cosolvent. The measurements and data necessary to develop this process are described. The process has been successfully transferred to prodn. with extremely limited data on the system. Careful consideration shows that the conditions chosen are valid only for an impure system. A pronounced influence of the purity of the starting material on the window of seeding has been found. The point of addn. of the seed should be detd. according to soly. and exptl. via the addn. of small amts. of seed to a continuously cooled soln.

IT 264186-52-9

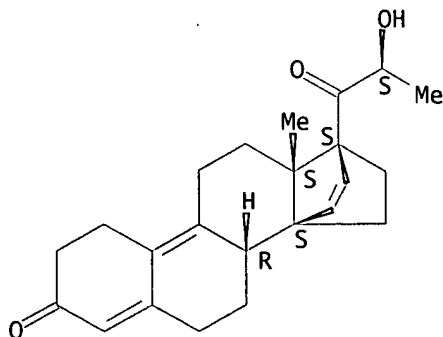
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(seeding process and effects of purity on crystn. of stable polymorph of hydroxytriendione)

RN 264186-52-9 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-[(2S)-2-hydroxy-1-oxopropyl]-, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 2

L60 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:349074 HCAPLUS

DOCUMENT NUMBER: 132:347796

TITLE: Procedure for the rearrangement of substituted cyclic propargylmethanols and intermediates in acid ion exchangers

INVENTOR(S): Weinmann, Hilmar; Skoetsch, Carlo; Harre, Michael

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Ger., 10 pp.  
CODEN: GWXXAW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19848305	C1	20000525	DE 1998-19848305	19981014
PRIORITY APPLN. INFO.:			DE 1998-19848305	19981014
OTHER SOURCE(S): CASREACT 132:347796; MARPAT 132:347796				

AB This patent discloses a procedure for the conversion of substituted cyclic propargylmethanols in presence of acid ion exchangers (modified Rupe rearrangement) and intermediate products of the procedure, e.g., 3-methoxy-21-methyl-19-nor-pregna-1,3,5(10),14,16-pentaen-20-on an important intermediate product within the synthesis of (21S)-21-Hydroxy-21-methyl-14,17-ethano-19-nor-pregna-4,9,15-triene-3,20-dione, a new progesterone deriv. similar in activity to Trimegeston.

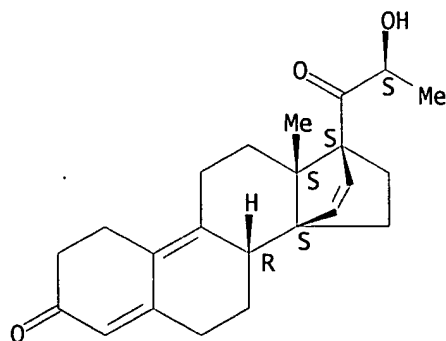
IT 264186-52-9P

RL: PNU (Preparation, unclassified); PREP (Preparation)  
(procedure for the rearrangement of substituted cyclic propargylmethanols and intermediates in acid ion exchangers)

RN 264186-52-9 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-[(2S)-2-hydroxy-1-oxopropyl]-, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



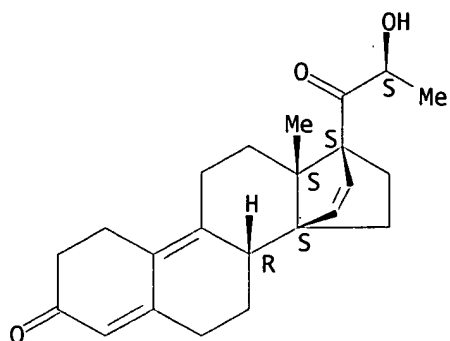
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=&gt; d ibib abs hitstr 3

L60 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:260073 HCAPLUS  
 DOCUMENT NUMBER: 132:298833  
 TITLE: Combination of gestagens and sugars  
 INVENTOR(S): Hoefert, Peter; Backensfeld, Thomas  
 PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany  
 SOURCE: PCT Int. Appl., 31 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021570	A1	20000420	WO 1999-EP7711	19991013
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19848303	A1	20000420	DE 1998-19848303	19981014
AU 9963389	A1	20000501	AU 1999-63389	19991013
EP 1121152	A1	20010808	EP 1999-950719	19991013
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			DE 1998-19848303 A	19981014
			WO 1999-EP7711 W	19991013
OTHER SOURCE(S): MARPAT 132:298833				
AB	A combination of .gtoreq.1 gestagen and a .beta.- or .gamma.-cyclodextrin or ether or ester thereof, wherein the gestagen is a 14,17-ethano-bridged steroid, is used as an oral medicament in the treatment of premenstrual and climacteric complaints and fertility control. The gestagen is preferably (21S)-21-hydroxy-21-methyl-14,17-ethano-19-norpregna-4,9,15-triene-3,20-dione. The cyclodextrin stabilizes the gestagen by inhibiting the acyloin rearrangement in the side chain and inhibiting oxidative degrdn. during storage. The cyclodextrin-gestagen complex is rapidly dissocd. in the intestine and the gestagen is resorbed.			
IT	264186-52-9 264186-53-0 264186-54-1 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination of gestagens and sugars)			
RN	264186-52-9 HCAPLUS			
CN	14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-[(2S)-2-hydroxy-1-oxopropyl]-, (17.alpha.)- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.



RN 264186-53-0 HCAPLUS

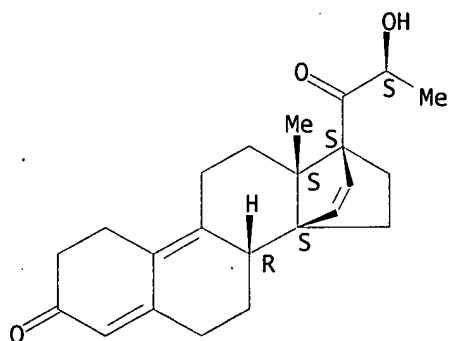
CN 14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-[(2S)-2-hydroxy-1-oxopropyl]-, (17.alpha.)-, compd. with .beta.-cyclodextrin (9CI) (CA INDEX NAME)

CM 1

CRN 264186-52-9

CMF C23 H28 O3

Absolute stereochemistry.



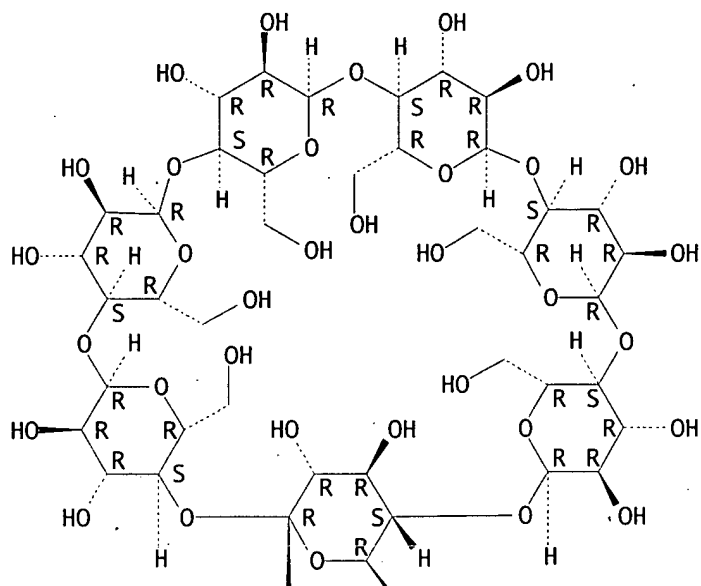
CM 2

CRN 7585-39-9

CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



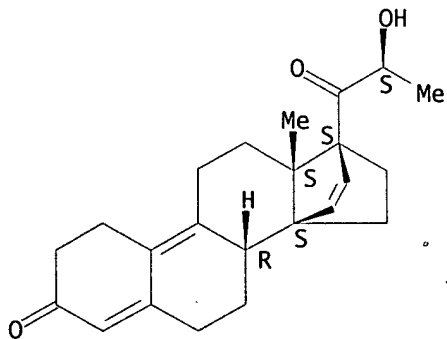
RN 264186-54-1 HCAPLUS  
 CN 14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-[(2S)-2-hydroxy-1-oxopropyl]-, (17.alpha.)-, compd. with .gamma.-cyclodextrin (9CI) (CA INDEX NAME)

CM 1

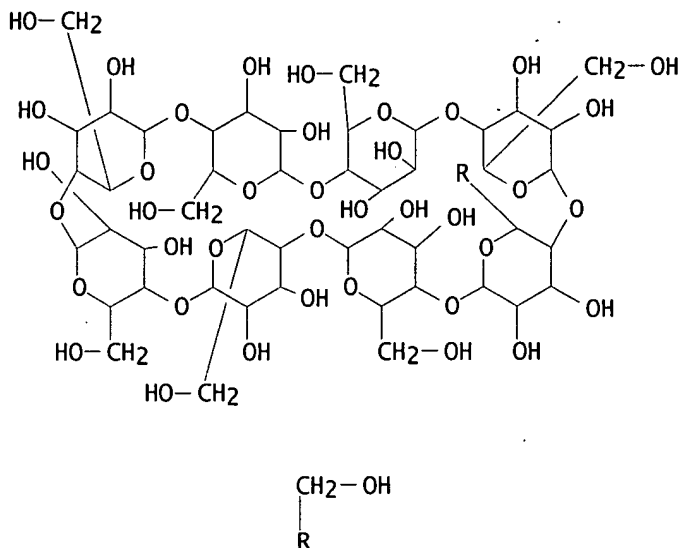
CRN 264186-52-9

CMF C23 H28 O3

Absolute stereochemistry.



CM 2

CRN 17465-86-0  
CMF C48 H80 O40


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=&gt; d ind 3

L60 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2003 ACS

IC ICM A61K047-48

ICS A61K031-57

CC 63-6 (Pharmaceuticals)

ST gestagen cyclodextrin complex stability

IT Menopause

(combination of gestagens and sugars)

IT Progestogens

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination of gestagens and sugars)

IT Drug delivery systems

(inhalants; combination of gestagens and sugars)

IT Drug delivery systems

(intrauterine; combination of gestagens and sugars)

IT Drug delivery systems

(nasal; combination of gestagens and sugars)

IT Contraceptives

Drug delivery systems

(oral; combination of gestagens and sugars)

IT Drug delivery systems

(parenterals; combination of gestagens and sugars)

IT Ovarian cycle

(premenstrual syndrome; combination of gestagens and sugars)  
 IT **Drug delivery systems**  
 (rectal; combination of gestagens and sugars)  
 IT **Drug delivery systems**  
 (transdermal; combination of gestagens and sugars)  
 IT **Drug delivery systems**  
 (vaginal; combination of gestagens and sugars)  
 IT **264186-52-9 264186-53-0 264186-54-1**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination of gestagens and sugars)  
 IT 7585-39-9D, .beta.-Cyclodextrin, complexes 17465-86-0D,  
 .gamma.-Cyclodextrin, complexes  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination of gestagens and sugars)

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L60 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:483526 HCAPLUS

DOCUMENT NUMBER: 125:143131

TITLE: Ethanonorpregnenediones as progestogens

INVENTOR(S): Schoellkopf, Klaus; Halfbrodt, Wolfgang; Kuhnke, Joachim; Schwede, Wolfgang; Fritzemeier, Karl-Heinrich; Drattenmacher, Rolf; Muhn, Hans-Peter

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Ger. Offen., 20 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

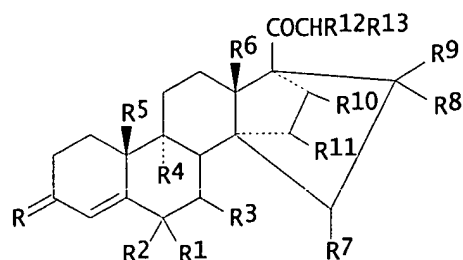
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4447401	A1	19960704	DE 1994-4447401	19941223
ZA 9510923	A	19960704	ZA 1995-10923	19951221
IL 116504	A1	20011125	IL 1995-116504	19951222
CA 2208605	AA	19960704	CA 1995-2208605	19951223
WO 9620209	A2	19960704	WO 1995-EP5107	19951223
WO 9620209	A3	19960906		
W: AU, BG, BR, BY, CA, CN, CZ, EE, FI, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9644338	A1	19960719	AU 1996-44338	19951223
AU 692346	B2	19980604		
EP 799238	A2	19971008	EP 1995-943195	19951223
EP 799238	B1	20000524		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CN 1171115	A	19980121	CN 1995-197047	19951223
JP 10511379	T2	19981104	JP 1995-520205	19951223
AT 193300	E	20000615	AT 1995-943195	19951223
ES 2151612	T3	20010101	ES 1995-943195	19951223
EE 3356	B1	20010215	EE 1997-142	19951223
RU 2169153	C2	20010620	RU 1997-112100	19951223
SK 282281	B6	20020107	SK 1997-788	19951223
PL 182642	B1	20020228	PL 1995-320799	19951223
US 5827842	A	19981027	US 1995-578847	19951226

BG 63274	B1	20010831	BG 1997-101554	19970603
FI 9702624	A	19970618	FI 1997-2624	19970618
LT 4295	B	19980225	LT 1997-106	19970620
LV 11954	B	19980620	LV 1997-125	19970620
NO 9702927	A	19970822	NO 1997-2927	19970623
US 5973172	A	19991026	US 1998-135483	19980818
US 6147065	A	20001114	US 1999-362214	19990728
PRIORITY APPLN. INFO.:			DE 1994-4447401	A 19941223
			WO 1995-EP5107	W 19951223
			US 1995-578847	A3 19951226
			US 1998-135483	A1 19980818

OTHER SOURCE(S): MARPAT 125:143131  
GI



I.

AB Title compds. I [R = O, NOH, H<sub>2</sub>; R<sub>1</sub> = H, Cl, F, Br, alkyl, R<sub>2</sub>, R<sub>3</sub> = H, R<sub>2</sub>R<sub>3</sub> = bond; R<sub>1</sub>R<sub>2</sub> = CH<sub>2</sub>, CHMe; R<sub>3</sub> = alkyl, R<sub>1</sub>, R<sub>2</sub> = H; R<sub>4</sub>, R<sub>5</sub> = H; R<sub>4</sub>R<sub>5</sub> = bond; R<sub>6</sub> = Me, Et; R<sub>7</sub> = H, alkyl; R<sub>8</sub>, R<sub>9</sub> = H, alkyl; R<sub>8</sub>R<sub>9</sub> = alkylene; R<sub>7</sub>R<sub>8</sub> = bond, alkylene; R<sub>10</sub> = H, alkyl; R<sub>11</sub> = H, alkyl, alkenyl; R<sub>10</sub>R<sub>11</sub> = bond; R<sub>12</sub> = H, alkyl; R<sub>13</sub> = H, alkyl, OH] were prepd. for use as progestagens (no data). Thus, 14,17-ethano-19-norpregna-4,9-diene-3,20-dione was obtained from 3-methoxy-19-nor-17.alpha.-pregna-1,3,5(10)-tetraen-20-yn-17.beta.-ol in 8 steps.

IT 40081-65-0P

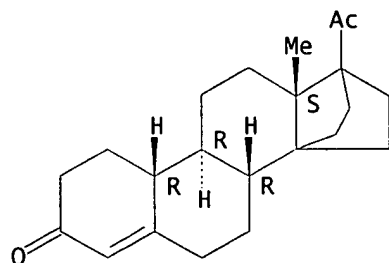
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of ethanonorpregnenediones as progestogens)

RN 40081-65-0 HCAPLUS

CN 14,21-Cyclo-19-norpregn-4-en-3-one, 17-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 179692-31-0P 179692-34-3P 179692-38-7P  
179692-41-2P 179692-44-5P 179692-47-8P  
179692-54-7P 179692-59-2P 179692-61-6P  
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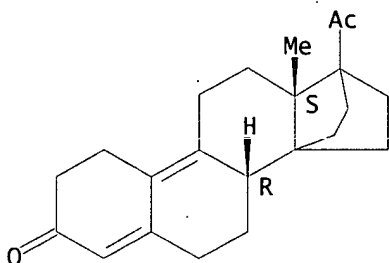
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 179692-77-4P 179692-78-5P 179692-79-6P  
 179692-80-9P 179692-81-0P 179692-82-1P  
 179692-83-2P 179692-84-3P 179692-85-4P  
 179692-86-5P 179692-87-6P 179692-88-7P  
 179692-89-8P 179692-90-1P 179692-91-2P  
 179692-92-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of ethanonorpregnediones as progestogens)

RN 179692-31-0 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,9-dien-3-one, 17-acetyl- (9CI) (CA INDEX NAME)

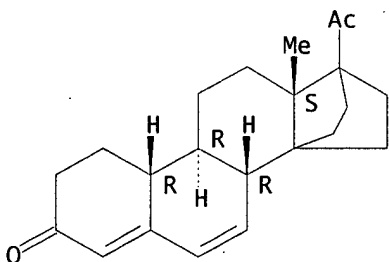
Absolute stereochemistry. Rotation (-).



RN 179692-34-3 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 17-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

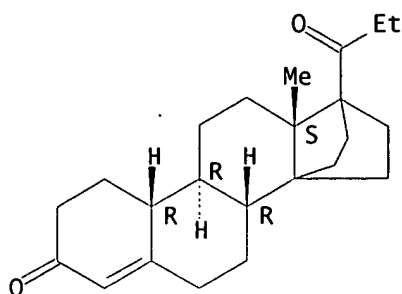


RN 179692-38-7 HCAPLUS

CN 14,21-Cyclo-19-norpregn-4-en-3-one, 17-(1-oxopropyl)- (9CI) (CA INDEX NAME)

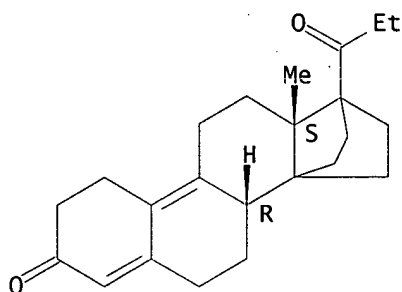
Absolute stereochemistry. Rotation (+).





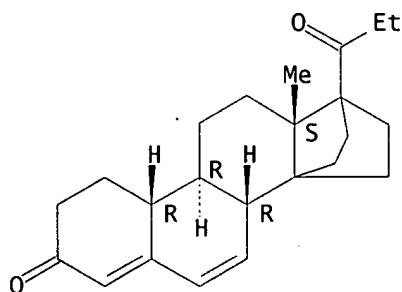
RN 179692-41-2 HCAPLUS  
 CN 14,21-Cyclo-19-norpregna-4,9-dien-3-one, 17-(1-oxopropyl)- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry. Rotation (-).



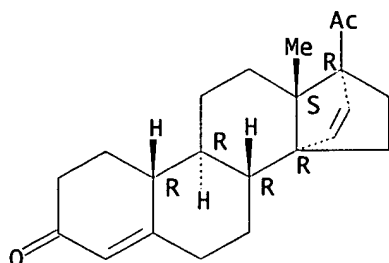
RN 179692-44-5 HCAPLUS  
 CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 17-(1-oxopropyl)- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



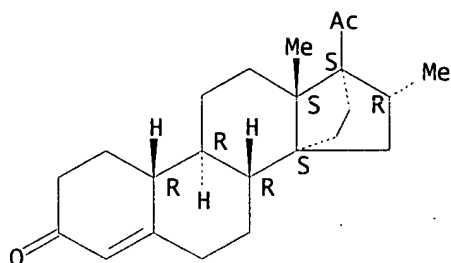
RN 179692-47-8 HCAPLUS  
 CN 14,21-Cyclo-19-norpregna-4,15-dien-3-one, 17-acetyl-, (14.beta.)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



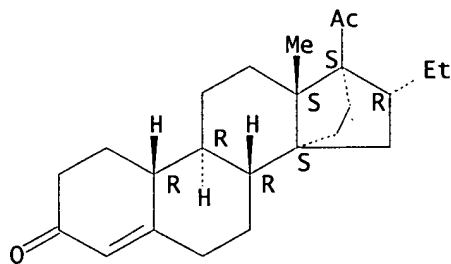
RN 179692-54-7 HCAPLUS  
 CN 14,21-Cyclo-19-norpregn-4-en-3-one, 17-acetyl-16-methyl-,  
 (16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



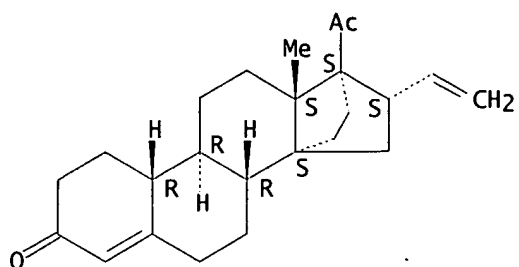
RN 179692-59-2 HCAPLUS  
 CN 14,21-Cyclo-19,24-dinorchol-4-en-3-one, 17-acetyl-, (14.beta.,20R)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 179692-61-6 HCAPLUS  
 CN 14,21-Cyclo-19,24-dinorchola-4,22-dien-3-one, 17-acetyl-, (14.beta.,20S)-  
 (9CI) (CA INDEX NAME)

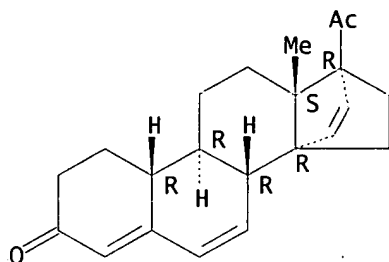
Absolute stereochemistry. Rotation (+).



RN 179692-62-7 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,6,15-trien-3-one, 17-acetyl-, (14.beta.)- (9CI)  
(CA INDEX NAME)

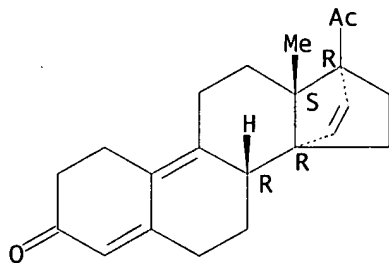
Absolute stereochemistry.



RN 179692-63-8 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-acetyl-, (14.beta.)- (9CI)  
(CA INDEX NAME)

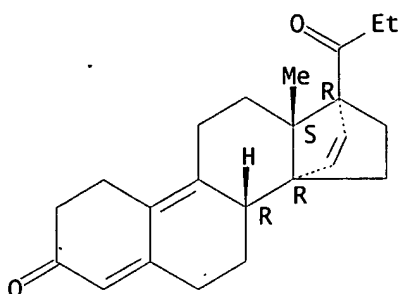
Absolute stereochemistry.



RN 179692-64-9 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-(1-oxopropyl)-,  
(14.beta.)- (9CI) (CA INDEX NAME)

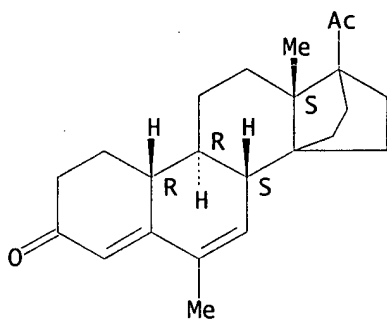
Absolute stereochemistry.



RN 179692-65-0 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 17-acetyl-6-methyl- (9CI) (CA INDEX NAME)

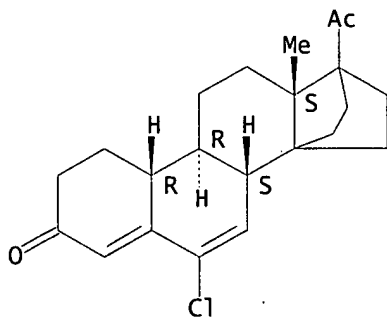
Absolute stereochemistry.



RN 179692-66-1 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 17-acetyl-6-chloro- (9CI) (CA INDEX NAME)

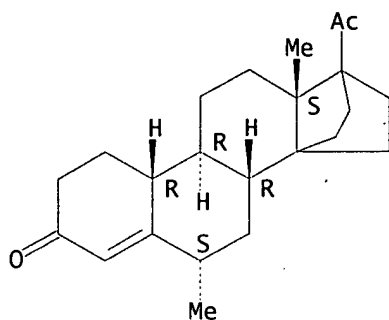
Absolute stereochemistry.



RN 179692-67-2 HCAPLUS

CN 14,21-Cyclo-19-norpregn-4-en-3-one, 17-acetyl-6-methyl-, (6.alpha.)- (9CI) (CA INDEX NAME)

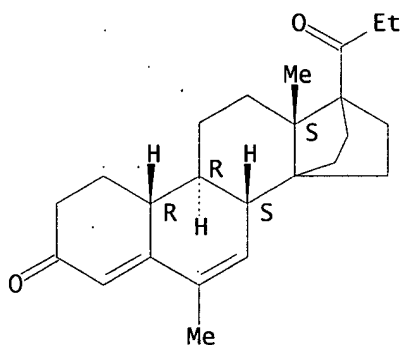
Absolute stereochemistry.



RN 179692-68-3 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 6-methyl-17-(1-oxopropyl)- (9CI)  
(CA INDEX NAME)

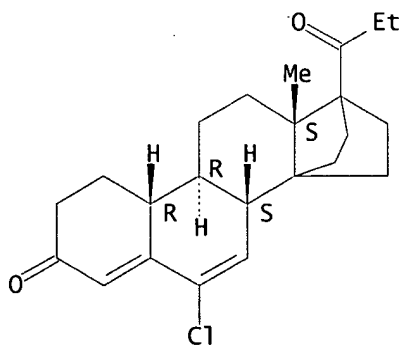
Absolute stereochemistry.



RN 179692-69-4 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 6-chloro-17-(1-oxopropyl)- (9CI)  
(CA INDEX NAME)

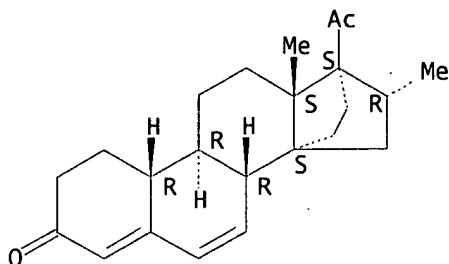
Absolute stereochemistry.



RN 179692-70-7 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 17-acetyl-16-methyl-,  
(16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

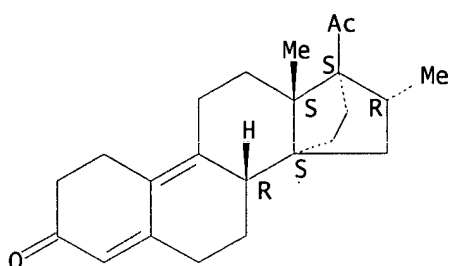
Absolute stereochemistry.



RN 179692-71-8 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,9-dien-3-one, 17-acetyl-16-methyl-,  
(16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

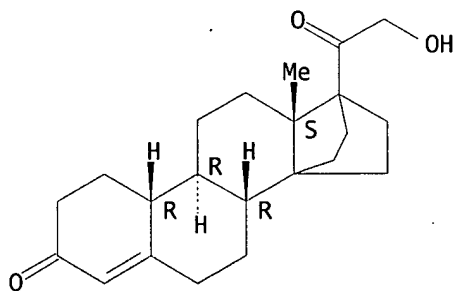
Absolute stereochemistry.



RN 179692-72-9 HCAPLUS

CN 14,21-Cyclo-19-norpregn-4-en-3-one, 17-(hydroxyacetyl)- (9CI) (CA INDEX  
NAME)

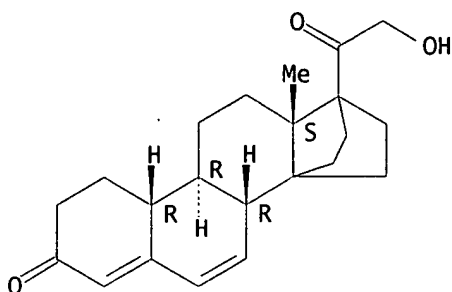
Absolute stereochemistry.



RN 179692-73-0 HCAPLUS

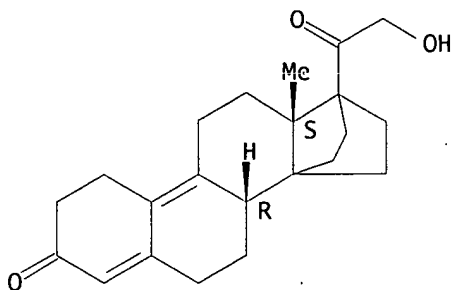
CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 17-(hydroxyacetyl)- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



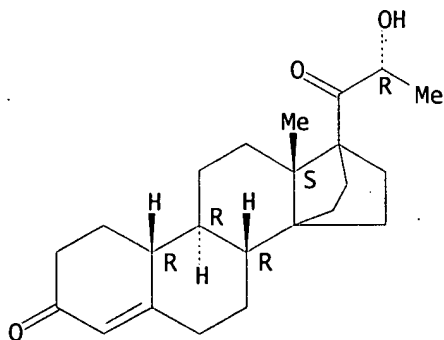
RN 179692-74-1 HCAPLUS  
 CN 14,21-Cyclo-19-norpregna-4,9-dien-3-one, 17-(hydroxyacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



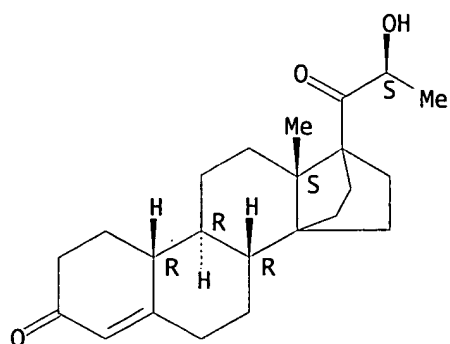
RN 179692-75-2 HCAPLUS  
 CN 14,21-Cyclo-19-norpregn-4-en-3-one, 17-(2-hydroxy-1-oxopropyl)-, [17(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179692-76-3 HCAPLUS  
 CN 14,21-Cyclo-19-norpregn-4-en-3-one, 17-(2-hydroxy-1-oxopropyl)-, [17(S)]- (9CI) (CA INDEX NAME)

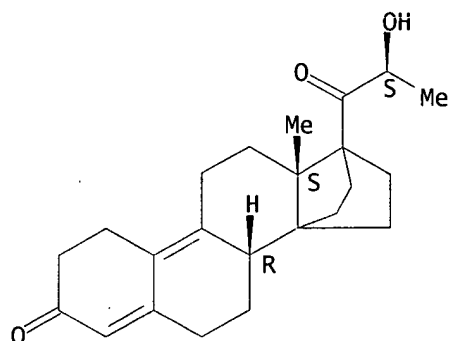
Absolute stereochemistry.



RN 179692-77-4 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,9-dien-3-one, 17-(2-hydroxy-1-oxopropyl)-,  
[17(S)]- (9CI) (CA INDEX NAME)

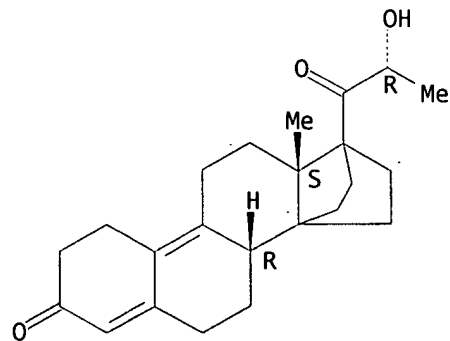
Absolute stereochemistry.



RN 179692-78-5 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,9-dien-3-one, 17-(2-hydroxy-1-oxopropyl)-,  
[17(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

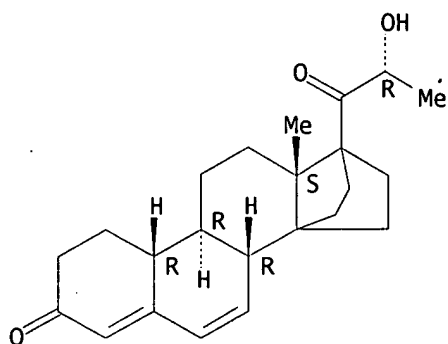


RN 179692-79-6 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 17-(2-hydroxy-1-oxopropyl)-,  
[17(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

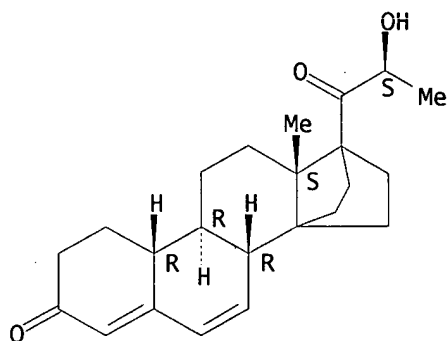




RN 179692-80-9 HCAPLUS

CN 14,21-Cyclo-19-norpregna-4,6-dien-3-one, 17-(2-hydroxy-1-oxopropyl)-, [17(S)]- (9CI) (CA INDEX NAME)

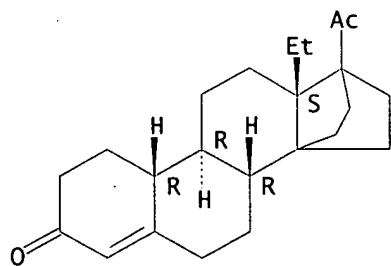
Absolute stereochemistry.



RN 179692-81-0 HCAPLUS

CN 14,21-Cyclo-18,19-dinorpregn-4-en-3-one, 17-acetyl-13-ethyl- (9CI) (CA INDEX NAME)

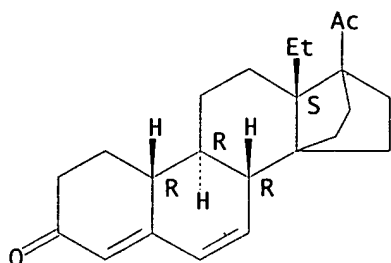
Absolute stereochemistry.



RN 179692-82-1 HCAPLUS

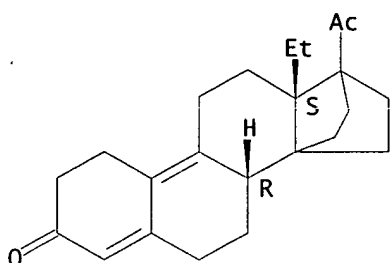
CN 14,21-Cyclo-18,19-dinorpregna-4,6-dien-3-one, 17-acetyl-13-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



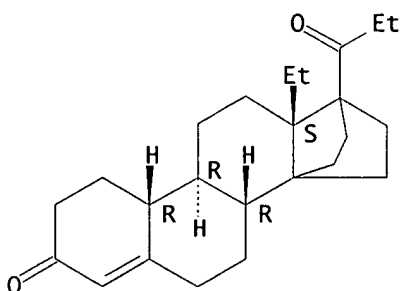
RN 179692-83-2 HCAPLUS  
 CN 14,21-Cyclo-18,19-dinorpregna-4,9-dien-3-one, 17-acetyl-13-ethyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



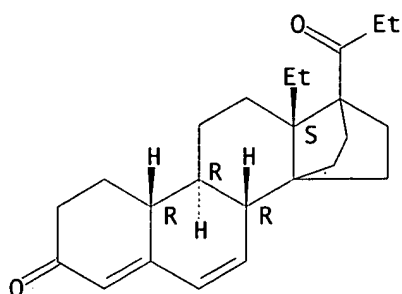
RN 179692-84-3 HCAPLUS  
 CN 14,21-Cyclo-18,19-dinorpregn-4-en-3-one, 13-ethyl-17-(1-oxopropyl)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 179692-85-4 HCAPLUS  
 CN 14,21-Cyclo-18,19-dinorpregna-4,6-dien-3-one, 13-ethyl-17-(1-oxopropyl)-  
 (9CI) (CA INDEX NAME)

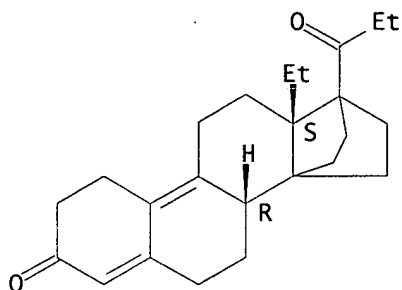
Absolute stereochemistry.



RN 179692-86-5 HCAPLUS

CN 14,21-Cyclo-18,19-dinorpregna-4,9-dien-3-one, 13-ethyl-17-(1-oxopropyl)-  
(9CI) (CA INDEX NAME)

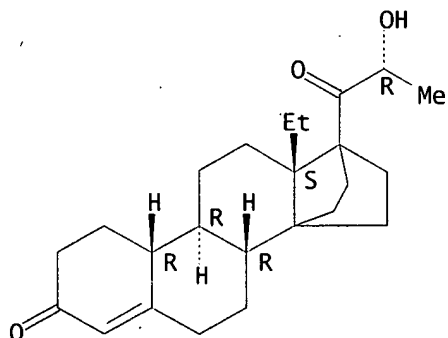
Absolute stereochemistry.



RN 179692-87-6 HCAPLUS

CN 13,21-Cyclo-18,19-dinorpregn-4-en-3-one, 13-ethyl-17-(2-hydroxy-1-oxopropyl)-, [17(R)]- (9CI) (CA INDEX NAME)

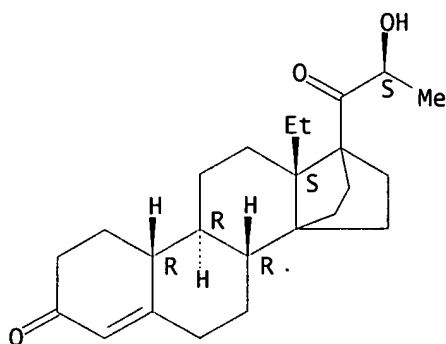
Absolute stereochemistry.



RN 179692-88-7 HCAPLUS

CN 14,21-Cyclo-18,19-dinorpregn-4-en-3-one, 13-ethyl-17-(2-hydroxy-1-oxopropyl)-, [17(S)]- (9CI) (CA INDEX NAME)

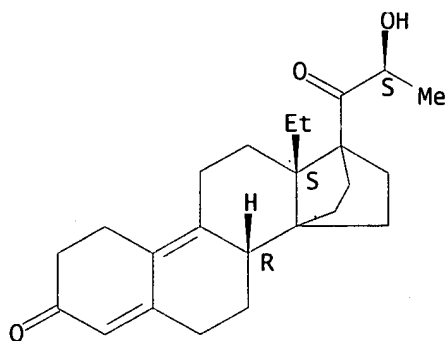
Absolute stereochemistry.



RN 179692-89-8 HCAPLUS

CN 14,21-Cyclo-18,19-dinorpregna-4,9-dien-3-one, 13-ethyl-17-(2-hydroxy-1-oxopropyl)-, [17(S)]- (9CI) (CA INDEX NAME)

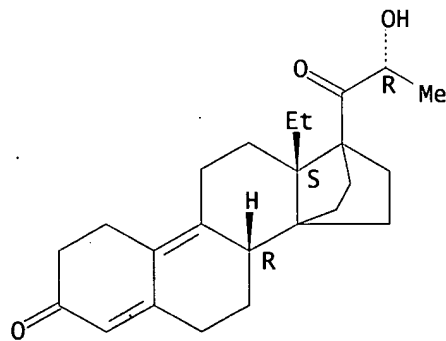
Absolute stereochemistry.



RN 179692-90-1 HCAPLUS

CN 14,21-Cyclo-18,19-dinorpregna-4,9-dien-3-one, 13-ethyl-17-(2-hydroxy-1-oxopropyl)-, [17(R)]- (9CI) (CA INDEX NAME)

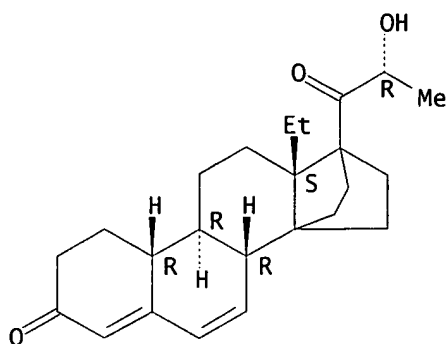
Absolute stereochemistry.



RN 179692-91-2 HCAPLUS

CN 14,21-Cyclo-18,19-dinorpregna-4,6-dien-3-one, 13-ethyl-17-(2-hydroxy-1-oxopropyl)-, [17(R)]- (9CI) (CA INDEX NAME)

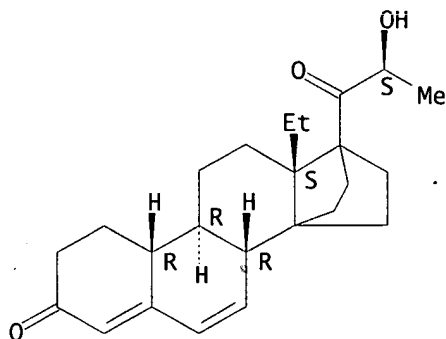
Absolute stereochemistry.



RN 179692-92-3 HCAPLUS

CN 14,21-Cyclo-18,19-dinorpregna-4,6-dien-3-one, 13-ethyl-17-(2-hydroxy-1-oxopropyl)-, [17(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L60 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1982:582719 HCAPLUS

DOCUMENT NUMBER: 97:182719

TITLE: On the conformation of the five-membered D ring in steroids

AUTHOR(S): Thomas, S. A.

CORPORATE SOURCE: Dep. Chem., Ahmadu Bello Univ., Zaria, Nigeria

SOURCE: Journal of Crystallographic and Spectroscopic Research (1982), 12(2), 171-89

CODEN: JCREDB; ISSN: 0277-8068

DOCUMENT TYPE: Journal

LANGUAGE: English

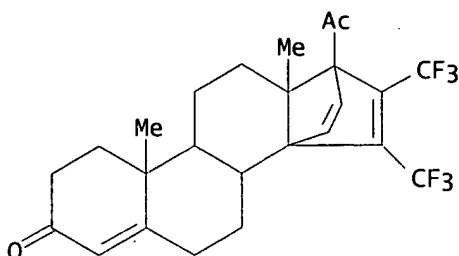
AB The effects on the conformation of steroids due to substituents at C-17 and other atoms of the five-membered D-ring were studied.

IT 15569-93-4

RL: PRP (Properties)  
(D-ring conformation of)

RN 15569-93-4 HCAPLUS

CN 14,22-Cyclo-24-norchola-4,15,20(22)-trien-3-one, 17-acetyl-21,21,21,23,23,23-hexafluoro-, (14.beta.,17.alpha.)- (9CI) (CA INDEX NAME)



L60 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:526698 HCAPLUS

DOCUMENT NUMBER: 79:126698

TITLE: Bridged D-ringsteroid analogs. XII. Effect of D-ring substituents on chemical shift of angular methyl protons

AUTHOR(S): Solo, A. J.; Eng, S.; Singh, Baldev

CORPORATE SOURCE: Sch. Pharm., State Univ. New York, Buffalo, NY, USA

SOURCE: Journal of Pharmaceutical Sciences (1973), 62(9), 1471-5

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The chem. shifts of the C-18 and C-19 hydrogens of 30 14.alpha.,17.alpha.-bridged 20-oxopregnanes and of four 14.alpha.,17.alpha.-bridged 17-cyanoandrostanes were correlated by additive substituent consts. Because the bridged D-ring of these steroids is a substituted bicyclo[2.2.1]heptane system, the magnitude of the substituent consts. were explained by analogy to empirical effects in other such systems as well as by more general theory. The acetyl side chain of the bridged 20-oxopregnanes exists in one rotamer form if the D-ring bears no extra substituents or a 16.alpha.-substituent and in a second form if a 16.beta.-substituent or a 16-substituent on a double bond is present. In the latter case, the carbonyl group deshields the C-18 hydrogens by 0.11 ppm.

IT 15569-93-4 15569-95-6 40026-17-3

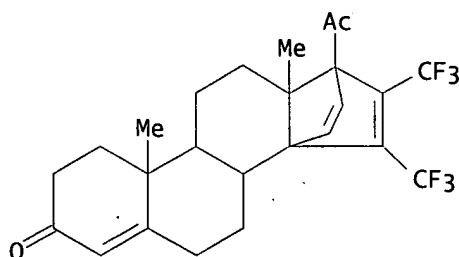
40081-65-0 40904-77-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(NMR chem. shift of Me protons in, substituent effect on)

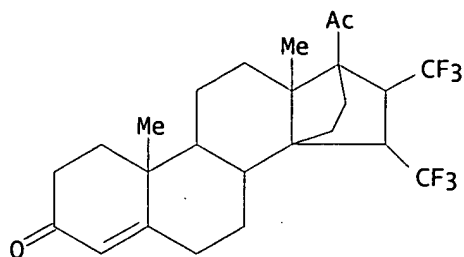
RN 15569-93-4 HCAPLUS

CN 14,22-Cyclo-24-norchole-4,15,20(22)-trien-3-one, 17-acetyl-21,21,21,23,23,23-hexafluoro-, (14.beta.,17.alpha.)- (9CI) (CA INDEX NAME)



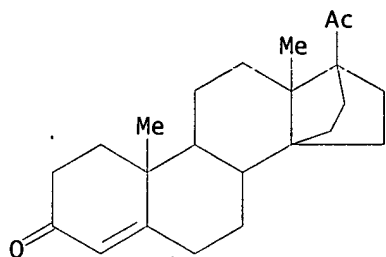
RN 15569-95-6 HCAPLUS

CN 14,22-Cyclo-24-norcho1-4-en-3-one, 17-acetyl-21,21,21,23,23,23-hexafluoro-, (20.beta.,22.beta.)- (9CI) (CA INDEX NAME)



RN 40026-17-3 HCAPLUS

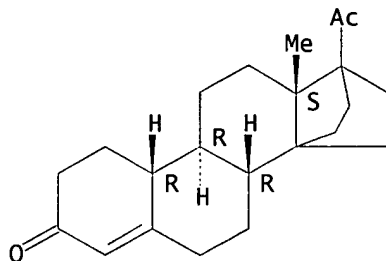
CN 14,21-Cyclopregn-4-en-3-one, 17-acetyl- (9CI) (CA INDEX NAME)



RN 40081-65-0 HCAPLUS

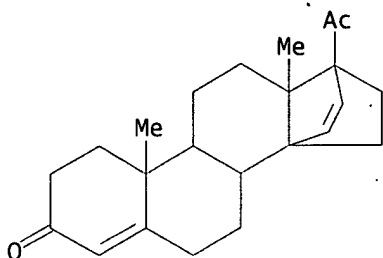
CN 14,21-Cyclo-19-norpregn-4-en-3-one, 17-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 40904-77-6 HCAPLUS

CN 14,21-Cyclopregna-4,15-dien-3-one, 17-acetyl-, (14.beta.,17.alpha.)- (9CI) (CA INDEX NAME)



L60 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:154944 HCAPLUS

DOCUMENT NUMBER: 78:154944

TITLE: Ring D bridged steroid analogs. 11. High Clauberg activity of 19-nor-14.alpha.,17.alpha.-ethano-4-pregnene-3,20-dione

AUTHOR(S): Solo, A. J.; Kapoor, J. N.

CORPORATE SOURCE: Sch. Pharm., State Univ. New York, Buffalo, NY, USA

SOURCE: Journal of Medicinal Chemistry (1973), 16(3), 270-3

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Removal of the 10.beta.-Me group from 14.alpha., 17.alpha.-bridged progesterone analogs markedly increased their progestational activity in rabbits in a modified Clauberg assay (T. Miyake, 1962). Thus, 19-nor-14.alpha.,17.alpha.-ethano-4-pregnene-3,20-dione (I) [40081-65-0] was 17.6 times as active as progesterone when administered s.c. compared to 1.3 and 0.6 times for 14.alpha.,17.alpha.-ethano-4-pregnene-3,20-dione (II) [40026-17-3] and 14.alpha.,17.alpha.-etheno-4-pregnene-3,20-dione [7313-49-7], resp. I was also 7.6 times as active as 17.alpha.-acetoxyprogesterone when given orally. The stereochem. of receptor binding of the progesterone analogs was discussed. To synthesize I, 3.beta.-acetoxy-14.alpha.,17.alpha.-etheno-5-pregnen-20-one [14697-33-7] was hydrogenated over Pd-C to the 14.alpha.,17.alpha.-ethano deriv., brominated to the 5.alpha.-bromo-6.beta.-hydroxy deriv., photooxidized in the presence of I<sub>2</sub> to 3.beta.-acetoxy-14.alpha.,17.alpha.-ethano-6.beta.,19-oxido-5.alpha.-bromopregnan-20-one [40026-19-5], deacetylated with KOH, oxidized to the 3-ketone with CrO<sub>3</sub>, converted with Zn dust to 14.alpha.,17.alpha.-ethano-19-hydroxy-4-pregnene-3,20-dione [40026-20-8], and oxidized to I with chromic acid.

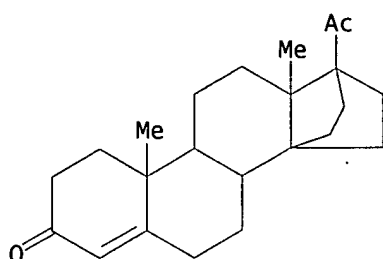
IT 40026-17-3P 40081-65-0P 40904-77-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and progestational activity of)

RN 40026-17-3 HCAPLUS

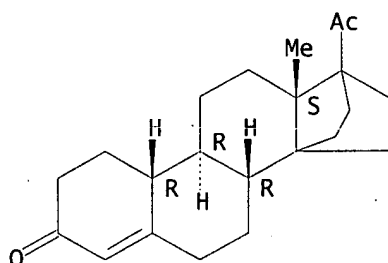
CN 14,21-Cyclopregn-4-en-3-one, 17-acetyl- (9CI) (CA INDEX NAME)



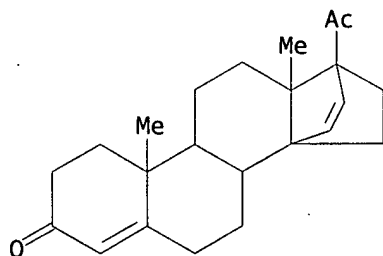


RN 40081-65-0 HCAPLUS  
CN 14,21-Cyclo-19-norpregna-4-en-3-one, 17-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 40904-77-6 HCAPLUS  
CN 14,21-Cyclopregna-4,15-dien-3-one, 17-acetyl-, (14.beta.,17.alpha.)- (9CI)  
(CA INDEX NAME)



L60 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1973:89639 HCAPLUS  
DOCUMENT NUMBER: 78:89639  
TITLE: Structure of a ring-D-bridged steroid  
AUTHOR(S): Birnbaum, George I.  
CORPORATE SOURCE: Div. Biol. Sci., Natl. Res. Counc., Ottawa, ON, Can.  
SOURCE: Acta Crystallographica, Section B: Structural  
Crystallography and Crystal Chemistry (1973), 29(1),  
54-60  
CODEN: ACBCAR; ISSN: 0567-7408  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB 14.alpha.,17.alpha.-Etheno-15,16-di(trifluoromethyl)-4,15-pregnadiene-3,20-  
dione, C<sub>25</sub>H<sub>26</sub>O<sub>2</sub>F<sub>6</sub>, crystallizes in the orthorhombic space group P2<sub>1</sub>2<sub>1</sub>2<sub>1</sub> (Z  
= 4) with cell dimensions a 20.523 +/- 0.003, b 14.224 +/- 0.003, c

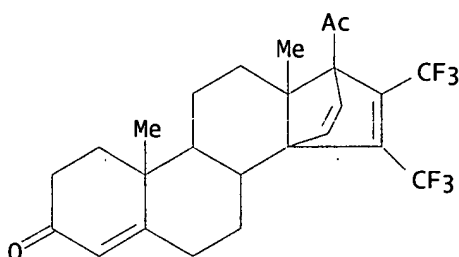
7.833  $\pm$  0.003 .ANG., with d.(obsd.) = 1.36 and d.(x-ray) = 1.37. Intensity data were collected at room temp. with an automatic 4-circle diffractometer. The structure was solved by direct methods and refined by the least-squares procedure to a final value of R = 0.061 for 2369 obsd. reflections. The conformation of ring A is intermediate between a half-chair and a sofa. Rings B and C, which are trans fused, are chair shaped. The geometry of the bicyclic system consisting of rings D and D\* is very similar to that of norbornadiene.

IT 15569-93-4

RL: PRP (Properties)  
(crystal structure of)

RN 15569-93-4 HCAPLUS

CN 14,22-Cyclo-24-norchole-4,15,20(22)-trien-3-one, 17-acetyl-  
21,21,21,23,23,23-hexafluoro-, (14.beta.,17.alpha.)- (9CI) (CA INDEX  
NAME)



L60 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1968:3085 HCAPLUS

DOCUMENT NUMBER: 68:3085

TITLE: Ring-D-bridged steroid analogs. V.  
14.alpha.,17.alpha.-Etheno-15,16-  
bis(trifluoromethyl)pregna-4,15-diene-3,20-dione and  
14.alpha.,17.alpha.-ethano-15.beta.,16.beta.-  
bis(trifluoromethyl)pregn-4-ene-3,20-dione

AUTHOR(S): Solo, Alan J.; Singh, Baldev

CORPORATE SOURCE: Dep. of Med. Chem., State Univ. of New York, Buffalo,  
NY, USA

SOURCE: Journal of Medicinal Chemistry (1967), 10(6), 1048-51

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

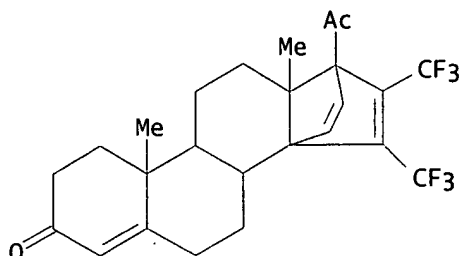
GI For diagram(s), see printed CA Issue.

AB Diels-Alder addn. of hexafluoro-2-butyne to 3.beta.-acetoxy-20-oxo-5,14,16-pregnatriene afforded 14.alpha.,17.alpha.-etheno-15,16-bis(trifluoromethyl)pregna-5,15-dien-3.beta.-ol-20-oneacetate (I). Hydrolysis of the acetate, followed by Oppenauer oxidn. resulted in the formation of 14.alpha.,17.alpha.-etheno-15,16-bis(trifluoromethyl)pregna-4,15-diene-3,20-dione (II). Selective catalytic redn. of I gave 14.alpha.,17.alpha.-ethano-15.beta.,16.beta.-bis(trifluoromethyl)pregn-5-en-3.beta.-ol-20-one acetate which was converted to 14.alpha.,17.alpha.-ethano-15.beta.,16.beta.-bis(trifluoromethyl)pregn-4-ene-3,20-dione (III). Compds. II and III were weakly active when administered by subcutaneous injection in the Claiberg assay. In a more definitive assay than that previously reported, 14.alpha.,17.alpha.-ethenopregn-4-ene-3,20-dione had only 54% of the activity of progesterone in the Claiberg assay. 34 references.

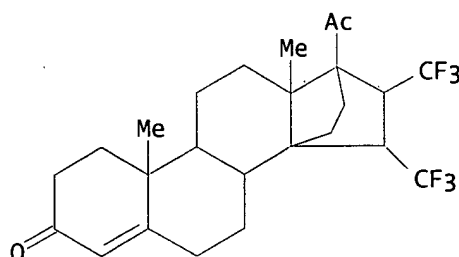
IT 15569-93-4P 15569-95-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 15569-93-4 HCAPLUS  
CN 14,22-Cyclo-24-norchola-4,15,20(22)-trien-3-one, 17-acetyl-  
21,21,21,23,23,23-hexafluoro-, (14.beta.,17.alpha.)- (9CI) (CA INDEX  
NAME)



RN 15569-95-6 HCAPLUS  
CN 14,22-Cyclo-24-norchol-4-en-3-one, 17-acetyl-21,21,21,23,23,23-hexafluoro-  
, (20.beta.,22.beta.)- (9CI) (CA INDEX NAME)



L60 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1967:38114 HCAPLUS

DOCUMENT NUMBER: 66:38114

TITLE: Ring-D-bridged steroid analogs. IV.  
14.alpha.,17.alpha.-Ethenopregn-4-ene-3,20-dione

AUTHOR(S): Solo, Alan J.; Singh, Baldev

CORPORATE SOURCE: State Univ. of New York, Buffalo, NY, USA

SOURCE: Journal of Medicinal Chemistry (1966), 9, 957-8

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB cf. CA 64, 11275c. A soln. of 6 g. 3.beta.acetoxy-20-oxo-5,14,16-  
pregnatriene in 75 ml. C6H6 was heated 14 hrs. at 160.degree. under C2H4  
at 3000 atm., the mixt. was cooled, filtered, evapd. to dryness, the solid  
extd. with MeOH, and the residue obtained on concn. of the ext.  
chromatographed over 125 g. acid-washed alumina (hexane-C6H6) yield 3.44  
g. 14.alpha.,17.beta.-etheno-pregn-5-en-3.beta.-ol-20-one (I) (R = Ac, R1  
= R2 = H). After a mixt. of 1.44 g. I (R = Ac, R1 = CO2H, R2 = H), 1.46  
g. KOH, 6 ml. H2O, and 50 ml. EtOH had been stirred 20 hrs. at room temp.,  
the mixt. concd. in vacuo, partitioned between Et2O/H2O, the Et2O ext.  
concd. to yield 1.12 g. I (R = R1 = R2 = H) (II). A mixt. of 1.52 g. II,  
9.5 ml. cyclohexanone, and 180 ml. MePh refluxed 1.5 hrs. under a  
Dean-Stark head, 1.68 g. (iso-PrO)3Al added, reflux continued for 1.5

hrs., the soln. extd. with aq. HCl, the org. layer concd., and the residue chromatographed over 45 g. Woelm neutral alumina to give 990 mg. 14.alpha.,17.alpha.-ethenopregu-4-ene-3,20-dione (III).

IT 7313-49-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 7313-49-7 HCAPLUS

CN 14,21-Cyclopregna-4,15-dien-3-one, 17-acetyl-, (17.alpha.)- (9CI) (CA  
INDEX NAME)

